TI Preparation of benzamidine derivatives as fibrinogen antagonists and platelet aggregation inhibitors

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TITLE: Preparation of benzamidine derivatives as fibrinogen antagonists and platelet aggregation inhibitors
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PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
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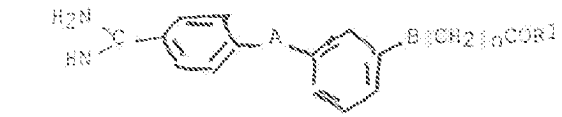
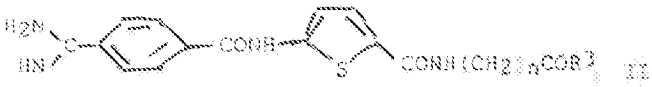
GI



I

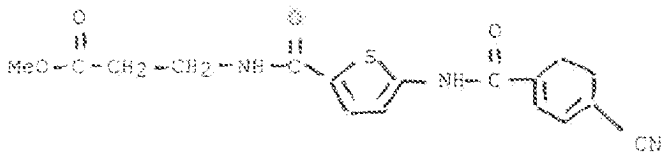


II

AB The title derivs. I (A = CONH, CH2O; n = 1-3; R1 = OH, NH2, OCH2CONR2; R2 = lower alkyl; when A is CONH then B is CH2S or NHCO; when A is CH2O then B is CH2S, CONH, or NHCO), and their pharmaceutically acceptable salts are prepn. The title derivs. II (R3 = OH, lower alkoxy; n = 1-3), and their pharmaceutically acceptable salts are prepn. A mixture of 13 g Me 3-[3-(4-cyanobenzyloxy)benzamide]propionate, Et3N, and pyridine was treated with H2S at room temperature for 2 h, settled at room temperature for 16 h to give 12.4 g Me 3-[3-(4-aminothiocarbonylbenzyloxy)benzamide]propionate, methylation of which gave 13 g Me 3-[3-(4-methylthioiminobenzyloxy)benzamide]propionate-hydroiodide (III). Refluxing a mixture of 16.8 g III, NH4MeCO2, in MeOH for 1 h gave 10 g Me 3-[3-(4-amidinobenzyloxy)benzamide]propionate-hydroiodide. 3-[5-(4-Amidinobenzamide)thiophen-2-yl]carbonylamino]propionic acid-hydrochloride showed PAF (platelet-activating factor) inhibition in dog with an IC50 of 0.16 μm.

IT 153038-93-8P
PL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PACT (Reactant or reagent)
(preparation and reaction of, in benzamidines manufacture)

RN 153038-93-8 CAPLUS
CN β-Alanine, N-[[5-[(4-cyanobenzoyl)amino]-2-thienyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)


MeO
O
CH2
CH2
NH
S
NH
CN